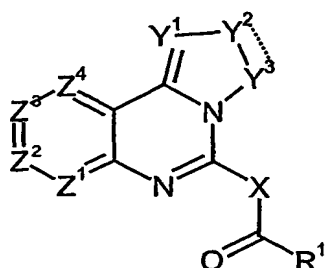


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CLAIMS

- (1) A fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof:



wherein

X represents CR<sup>5</sup>R<sup>6</sup> or NH;

Y<sup>1</sup> represents CR<sup>3</sup> or N;

Chemical bond between Y<sup>2</sup>=Y<sup>3</sup> represents a single bond or double bond, with the proviso that when the Y<sup>2</sup>=Y<sup>3</sup> represents a double bond, Y<sup>2</sup> and Y<sup>3</sup> independently represent CR<sup>4</sup> or N, and when Y<sup>2</sup>=Y<sup>3</sup> represents a single bond, Y<sup>2</sup> and Y<sup>3</sup> independently represent CR<sup>3</sup>R<sup>4</sup> or NR<sup>4</sup>;

Z<sup>1</sup>, Z<sup>2</sup>, Z<sup>3</sup> and Z<sup>4</sup> independently represent CH, CR<sup>2</sup> or N;

R<sup>1</sup> represents aryl optionally having 1 to 3 substituents selected from R<sup>11</sup>, C<sub>3-8</sub> cycloalkyl optionally having 1 to 3 substituents selected from R<sup>11</sup>, C<sub>1-6</sub> alkyl optionally substituted by aryl, heteroaryl, C<sub>1-6</sub> alkoxyaryl, aryloxy, heteroaryloxy or one or more halogen, C<sub>1-6</sub> alkoxy optionally substituted by carboxy, aryl, heteroaryl, C<sub>1-6</sub> alkoxyaryl, aryloxy, heteroaryloxy or one or more halogen, or

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a 3 to 15 membered mono- or bi-cyclic heterocyclic ring that is saturated or unsaturated, optionally having 1 to 3 substituents selected from R<sup>11</sup>, and contains 1 to 3 heteroatoms selected from the group consisting of N, O and S,

5

wherein

R<sup>11</sup> represents halogen, nitro, hydroxy, cyano, carboxy, amino, N-(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, N-(formyl)-N-(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>alkanesulfonyl) amino, N-(carboxyC<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>alkoxycarbonyl)amino, N-[N,N-di(C<sub>1-6</sub>alkyl)amino methylene]amino, N-[N,N-di(C<sub>1-6</sub>alkyl)amino (C<sub>1-6</sub>alkyl)methylene]amino, N-[N,N-di(C<sub>1-6</sub>alkyl)amino C<sub>2-6</sub>alkenyl]amino, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl, C<sub>3-8</sub>cycloalkyl, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub>alkanesulfonyl, sulfamoyl, C<sub>1-6</sub>alkoxycarbonyl,

10

15

N-arylamino wherein said aryl moiety is optionally having 1 to 3 substituents selected from R<sup>101</sup>, N-(aryl C<sub>1-6</sub>alkyl)amino wherein said aryl moiety is optionally having 1 to 3 substituents selected from R<sup>101</sup>, aryl C<sub>1-6</sub>alkoxycarbonyl wherein said aryl moiety is optionally having 1 to 3 substituents selected from R<sup>101</sup>,

20

C<sub>1-6</sub>alkyl optionally substituted by mono-, di- or tri- halogen, amino, N-(C<sub>1-6</sub>alkyl)amino or N,N-di(C<sub>1-6</sub>alkyl)amino,

25

C<sub>1-6</sub>alkoxy optionally substituted by mono-, di- or tri- halogen, N-(C<sub>1-6</sub>alkyl)sulfonamide, or N-(aryl)sulfonamide,

or

a 5 to 7 membered saturated or unsaturated ring having 1 to 3 heteroatoms selected from the group consisting of O, S and N, and optionally having 1 to 3 substituents selected from R<sup>101</sup>

30

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wherein

R<sup>101</sup> represents halogen, carboxy, amino, N-(C<sub>1-6</sub> alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl, pyridyl,

C<sub>1-6</sub> alkyl optionally substituted by cyano or mono- di- or tri-halogen,

and

C<sub>1-6</sub>alkoxy optionally substituted by cyano, carboxy, amino, N-(C<sub>1-6</sub> alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl or mono-, di- or tri- halogen;

R<sup>2</sup> represents hydroxy, halogen, nitro, cyano, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkyl)amino, C<sub>1-6</sub> acyloxy, aminoC<sub>1-6</sub> acyloxy, C<sub>2-6</sub>alkenyl, aryl,

a 5-7 membered saturated or unsaturated heterocyclic ring having 1 to 3 heteroatoms selected from the group consisting O, S and N, and optionally substituted by

hydroxy, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, oxo, amino, amino C<sub>1-6</sub>alkyl, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub> acyl)amino, N-(C<sub>1-6</sub>alkyl)carbonylamino, phenyl, phenyl C<sub>1-6</sub> alkyl, carboxy, C<sub>1-6</sub>alkoxycarbonyl, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, or N,N-di(C<sub>1-6</sub>alkyl)amino, -C(O)- R<sup>20</sup>

wherein

R<sup>20</sup> represents C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub> acyl)amino, or a 5-7

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membered saturated or unsaturated heterocyclic ring having 1 to 3 heteroatoms selected from the group consisting O, S and N, and optionally substituted by C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, oxo, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, phenyl, or benzyl,

C<sub>1-6</sub> alkyl optionally substituted by R<sup>21</sup>,

or

C<sub>1-6</sub> alkoxy optionally substituted by R<sup>21</sup>,

wherein

R<sup>21</sup> represents cyano, mono-, di or tri- halogen, hydroxy, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub> alkyl) amino, N- (halophenylC<sub>1-6</sub> alkyl) amino, amino C<sub>2-6</sub> alkylenyl, C<sub>1-6</sub> alkoxy, hydroxyC<sub>1-6</sub> alkoxy, -C(O)- R<sup>201</sup>, -NHC(O)- R<sup>201</sup>, C<sub>3-8</sub>cycloalkyl, isoindolino, phthalimidyl, 2-oxo-1,3-oxazolidinyl, aryl or a 5 or 6 membered saturated or unsaturated heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting O, S and N, and optionally substituted by hydroxy, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxycarbonyl, hydroxyC<sub>1-6</sub> alkoxy, oxo, amino, aminoC<sub>1-6</sub>alkyl, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, or benzyl,

wherein

R<sup>201</sup> represents hydroxy, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N- (halophenylC<sub>1-6</sub> alkyl) amino, C<sub>1-6</sub>alkyl, aminoC<sub>1-6</sub> alkyl, aminoC<sub>2-6</sub> alkylenyl, C<sub>1-6</sub> alkoxy, a 5 or 6

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membered saturated or unsaturated heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting O, S and N, and optionally substituted by hydroxy, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxycarbonyl, hydroxyC<sub>1-6</sub> alkoxy, oxo, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub> acyl)amino or benzyl;

R<sup>3</sup> represents hydrogen, halogen, aminocarbonyl, or C<sub>1-6</sub> alkyl optionally substituted by aryl C<sub>1-6</sub> alkoxy or mono-, di- or tri- halogen;

R<sup>4</sup> represents hydrogen or C<sub>1-6</sub> alkyl;

R<sup>5</sup> represents hydrogen or C<sub>1-6</sub> alkyl; and

R<sup>6</sup> represents halogen, hydrogen or C<sub>1-6</sub> alkyl.

(2) The fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

X represents CR<sup>5</sup>R<sup>6</sup> or NH;

Y<sup>1</sup> represents CR<sup>3</sup> or N;

Chemical bond between Y<sup>2</sup>—Y<sup>3</sup> represents a single bond or double bond, with the proviso that when the Y<sup>2</sup>—Y<sup>3</sup> represents a double bond,

Y<sup>2</sup> and Y<sup>3</sup> independently represent CR<sup>4</sup> or N, and

when Y<sup>2</sup>—Y<sup>3</sup> represents a single bond, Y<sup>2</sup> and Y<sup>3</sup> independently represent CR<sup>3</sup>R<sup>4</sup> or NR<sup>4</sup>;

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$Z^1$ ,  $Z^2$ ,  $Z^3$  and  $Z^4$  independently represent CH,  $CR^2$  or N;

$R^1$  represents

5  $C_{1-6}$  alkyl optionally substituted by mono-, di- or tri- halogen, phenyl, methoxyphenyl, phenoxy, or thienyl,

$C_{1-6}$  alkoxy optionally substituted by mono-, di- or tri- halogen, phenyl, methoxyphenyl, phenoxy, or thienyl,

10 or

one of the following carbocyclic and heterocyclic rings selected from the group consisting of cyclopropyl, cyclohexyl, piperidiny, piperaziny, pyrroly, pyrazoly, furyl, thienyl, thiazoly, isothiazoly, 15 oxazoly, isoxazoly, imidazoly, isoimidazoly, pyrazoly, 1,2,3-thiadiazoly, 1,2,4-thiadiazoly, 1,2,5-thiadiazoly, 1,3,4-thiadiazoly, 1,2,3-oxadiazoly, 1,2,4-oxadiazoly, 1,2,5-oxadiazoly, 1,3,4-oxadiazoly, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, 1,3,4-triazole, phenyl, pyridyl, pyraziny, pyrimidiny, pyridaziny, 1-benzothio- 20 phenyl, benzothiazoly, benzimidazoly, 3H-imidazo[4,5-b]pyridiny, benzotriazoly, indoly, indazoly, imidazo[1,2-a]pyridiny, quinoliny, and 1,8-naphthyridiny,

wherein

25 said carbocyclic and heterocyclic rings optionally substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, carboxy, amino, N-( $C_{1-6}$ alkyl)amino, N,N-di( $C_{1-6}$ alkyl)amino, N-( $C_{1-6}$ acyl)amino, N-( $C_{1-6}$ alkoxycarbonyl)amino, 30 N-(formyl)-N-( $C_{1-6}$ alkyl)amino, N[N,N-di( $C_{1-6}$ alkyl)amino methyl-ene]amino, N[N,N-di( $C_{1-6}$ alkyl)amino ( $C_{1-6}$ alkylene)methyl-

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ene]amino, N-[N,N-di(C<sub>1-6</sub>alkyl)amino C<sub>2-6</sub>alkenyl]amino, C<sub>1-6</sub> alkyl-thio, C<sub>1-6</sub>alkanesulfonyl, sulfamoyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkoxycarbonyl, pyrrolyl, imidazolyl, pyrazolyl, pyrrolidinyl, pyridyl, phenyl C<sub>1-6</sub>alkoxycarbonyl,

5

thiazolyl optionally substituted by pyridyl,  
piperazinyl optionally substituted by C<sub>1-6</sub> alkyl or C<sub>1-6</sub>alkoxy  
and  
C<sub>1-6</sub>alkyl optionally substituted by mono-, di- or tri- halogen;

10

R<sup>2</sup> represents hydroxy, halogen, nitro, cyano, carboxy, amino, N-(C<sub>1-6</sub>-alkyl)amino, N-(hydroxy C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(hydroxy C<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkyl)amino, C<sub>2-6</sub>alkenyl, C<sub>1-6</sub>alkoxy-carbonyl, aminocarbonyl, C<sub>1-6</sub>acyloxy, aminoC<sub>1-6</sub> acyloxy, furyl, morpholino, phenyl, piperidino, aryl,

15

pyrrolidinyl optionally substituted by C<sub>1-6</sub>acylamino,  
piperidino optionally substituted by hydroxy, C<sub>1-6</sub> alkyl, carboxy, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, or N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl,

20

piperazinyl optionally substituted by  
C<sub>1-6</sub> alkyl,

C<sub>1-6</sub> alkyl optionally substituted by cyano, mono-, di- or tri- halogen, hydroxy, amino, N-(C<sub>1-6</sub>alkyl)amino, N-(hydroxy C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, C<sub>3-6</sub> cycloalkyl, tetrazolyl, tetrahydro-pyranyl, morpholino, phthalimidyl, 2-oxo-1,3oxazolidinyl, phenyl, -C(O)- R<sup>201</sup>, pyrrolidinyl optionally substituted by C<sub>1-6</sub>acylamino,

25

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piperidino optionally substituted by hydroxy, C<sub>1-6</sub> alkyl, carboxy, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, or N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl, or piperazinyl optionally substituted by C<sub>1-6</sub> alkyl, wherein

5           R<sup>201</sup> represents hydroxy, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(halobenzyl)amino, C<sub>1-6</sub>alkyl, C<sub>1-6</sub> alkoxy, tetrazolyl, tetrahydropyranyl, morpholino,

10           pyrrolidinyl optionally substituted by C<sub>1-6</sub>acylamino, piperidino optionally substituted by

hydroxy, C<sub>1-6</sub> alkyl, carboxy, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, or N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl,

15           or

20           piperazinyl optionally substituted by C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy optionally substituted by cyano, mono-, di- or tri- halogen, hydroxy, C<sub>1-6</sub>alkoxy, hydroxy C<sub>1-6</sub> alkoxy, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, pyrrolyl, tetrazolyl, tetrahydropyranyl, morpholino, phthalimidyl, 2-oxo-1,3oxazolidinyl, phenyl, -C(O)- R<sup>201</sup>,

25           pyrrolidinyl optionally substituted by C<sub>1-6</sub>acylamino, piperidino optionally substituted by hydroxy, C<sub>1-6</sub> alkyl, carboxy, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, or N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl,

or

30           piperazinyl optionally substituted by C<sub>1-6</sub> alkyl,

wherein



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5  $R^{201}$  represents hydroxy, amino, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(halobenzyl)amino, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, amino C<sub>2-6</sub> alkylenyl, tetrazolyl, tetrahydropyranyl, morpholino, pyrrolidinyl optionally substituted by C<sub>1-6</sub>acylamino, piperidino optionally substituted by hydroxy, C<sub>1-6</sub> alkyl, carboxy, aminocarbonyl, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, or N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl,

10 or  
piperazinyl optionally substituted by C<sub>1-6</sub>alkyl;

15  $R^3$  represents hydrogen, halogen, C<sub>1-6</sub> alkyl optionally substituted by aminocarbonyl, arylC<sub>1-6</sub> alkoxy, or mono-, di- or tri-halogen;

$R^4$  represents hydrogen or C<sub>1-6</sub> alkyl;

$R^5$  represents hydrogen or C<sub>1-6</sub> alkyl; and

20  $R^6$  represents hydrogen, halogen or C<sub>1-6</sub> alkyl.

(3) The fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1, wherein

25 X represents CR<sup>5</sup>R<sup>6</sup> or NH;

Y<sup>1</sup> represents N;

Y<sup>2</sup> and Y<sup>3</sup> represent CR<sup>3</sup>R<sup>4</sup>;

30

Chemical bond between Y<sup>2</sup>=Y<sup>3</sup> represents a single bond.

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$Z^4$  represents CH;

$Z^1$ ,  $Z^2$  and  $Z^3$  independently represent CH,  $CR^2$  or N;

$R^1$  represents

$C_{1-6}$  alkyl optionally substituted by mono-, di- or tri- halogen, phenyl, methoxyphenyl, phenoxy, or thienyl,

$C_{1-6}$  alkoxy optionally substituted by phenyl phenoxy, thienyl or mono-, di- or tri- halogen,

or

one of the following carbocyclic and heterocyclic rings selected from the group consisting of cyclopropyl, cyclopentyl, cyclohexyl, piperidinyl, piperazinyl, pyrrolyl, pyrazolyl, furyl, thienyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, imidazolyl, isoimidazolyl, pyrazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1-benzothiophenyl, benzothiazolyl, benzimidazolyl, 3H-imidazo[4,5-b]pyridinyl, benzotriazolyl, indolyl, indazolyl, imidazo[1,2-a]pyridinyl, quinolinyl, and 1,8-naphthyridinyl, wherein

said carbocyclic and heterocyclic rings optionally substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, carboxy, amino, N-( $C_{1-6}$ alkyl)amino, N-(hydroxy  $C_{1-6}$ alkyl)amino, N,N-di( $C_{1-6}$ alkyl)amino, N-( $C_{1-6}$ acyl)amino, N-( $C_{1-6}$ alkoxycarbonyl)amino, N-(formyl)-N-( $C_{1-6}$ alkyl)amino, N,N-di( $C_{1-6}$ alkyl) amino ( $C_{2-6}$ alkenyl) amino, N-( $C_{1-6}$ alkane)sulfonyl amino,

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N[N,N-di(C<sub>1-6</sub>alkyl)amino methylene]amino, C<sub>1-6</sub> alkylthio,  
 C<sub>1-6</sub>alkanesulfonyl, sulfamoyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkoxycarbonyl,  
 pyrrolyl, imidazolyl, pyrazolyl, pyrrolidinyl, pyridyl, phenyl  
 C<sub>1-6</sub>alkoxycarbonyl,  
 5 thiazolyl optionally substituted by pyridyl, piperazinyl optionally  
 substituted by C<sub>1-6</sub> alkyl or C<sub>1-6</sub>alkoxy and C<sub>1-6</sub>alkyl optionally  
 substituted by mono-, di- or tri- halogen;

10 R<sup>2</sup> represents halogen, hydroxy, nitro, cyano, amino, N-(C<sub>1-6</sub>alkyl)amino,  
 N,N-di(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkyl)amino,  
 (C<sub>2-6</sub>)alkenyl, C<sub>1-6</sub>alkoxycarbonyl, aminocarbonyl, furyl, piperidino,  
 morpholino, phenyl, pyrrolidinyl optionally substituted by N-(C<sub>1-6</sub>  
 acyl)amino, or N-(C<sub>1-6</sub>alkyl)carbonylamino, piperidino optionally  
 substituted by hydroxy, piperazinyl optionally substituted by C<sub>1-6</sub>  
 15 6alkyl, phenylC<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxycarbonyl, or aminocarbonyl;

C<sub>1-6</sub> alkyl optionally substituted by amino, cyano, C<sub>1-6</sub>alkoxycarbonyl,  
 morpholino, or mono-, di- or tri- halogen,

or

20 C<sub>1-6</sub> alkoxy optionally substituted by hydroxy, cyano, carboxy, C<sub>1-6</sub>  
 alkoxy, C<sub>1-6</sub> acyl, C<sub>1-6</sub>alkoxycarbonyl, amino, N-(C<sub>1-6</sub>  
 alkyl)amino, N-(C<sub>1-6</sub>alkyl)aminocarbonyl, N,N-di(C<sub>1-6</sub>alk-  
 yl)amino, N,N-di(C<sub>1-6</sub>alkyl)aminocarbonyl, aminocarbonyl,  
 aminoC<sub>1-6</sub> alkylcarbonyl, N-(halobenzyl)aminocarbonyl,  
 25 hydroxy C<sub>1-6</sub> alkoxy, C<sub>3-6</sub> cycloalkyl, morpholino,  
 morpholinocarbonyl, pyrrolidinyl, pyrrolyl, piperidino, phthal-  
 imidyl,

or

30 piperazinyl optionally substituted by benzyl;

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R<sup>3</sup> represents hydrogen;

R<sup>4</sup> represents hydrogen;

5

R<sup>5</sup> represents hydrogen; and

R<sup>6</sup> represents hydrogen.

10 (4) The fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

X represents CR<sup>5</sup>R<sup>6</sup> or NH;

15

Y<sup>1</sup> represents N;

Y<sup>2</sup> and Y<sup>3</sup> represent CR<sup>3</sup>R<sup>4</sup>;

20

Chemical bond between Y<sup>2</sup>=Y<sup>3</sup> represents a single bond

Z<sup>4</sup> represents CH;

Z<sup>1</sup>, Z<sup>2</sup> and Z<sup>3</sup> independently represent N, CH or CR<sup>2</sup>;

25

R<sup>1</sup> represents cyclopropyl, cyclopentyl, cyclohexyl, 2-furyl, 3-furyl, imidazolyl, pyrimidinyl, pyridazinyl, piperazinyl, 1,2,3-thiadiazolyl, 1,3-benzothiazolyl, quinolyl, 3H-imidazo[4,5-b]pyridinyl, 1H-pyrrol-2-yl optionally substituted by C<sub>1-6</sub>alkyl, 1H-pyrrol-3-yl optionally substituted by C<sub>1-6</sub>alkyl, pyrazolyl optionally substituted by 1 or 2 C<sub>1-6</sub>alkyl,

30

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isoxazolyl optionally substituted by 1 or 2 C<sub>1-6</sub>alkyl,  
2-thienyl optionally substituted by chloro, nitro, cyano, or C<sub>1-6</sub> alkyl,  
3-thienyl optionally substituted by chloro, nitro, cyano, or C<sub>1-6</sub> alkyl,  
piperidinyl optionally substituted by C<sub>1-6</sub>alkoxycarbonyl, or benzyl-  
5 oxycarbonyl, phenyl optionally substituted by 1 to 3 substituents  
selected from the group consisting of fluoro, chloro, hydroxy, nitro,  
cyano, carboxy, C<sub>1-6</sub> alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkoxycarbonyl, amino, N-  
(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, N-(C<sub>1-6</sub>alkoxycabonyl)amino,  
N,N-di(C<sub>1-6</sub>alkyl)amino, N-(formyl)-N-C<sub>1-6</sub>alkyl amino, C<sub>1-6</sub> alkylthio,  
10 C<sub>1-6</sub>alkanesulfonyl, sulfamoyl, pyrrolyl, imidazolyl, pyrazolyl, and  
piperazinyl optionally substituted by C<sub>1-6</sub>alkyl,

pyridyl optionally substituted by 1 or 2 substituents selected from the  
group consisting of chloro, hydroxy, carboxy, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>  
15 alkylthio, amino, N-(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)amino,  
N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, N-(C<sub>1-6</sub>alkane)sulfonyl  
amino, N[N,N-di(C<sub>1-6</sub>alkyl)amino methylene]amino, and C<sub>1-6</sub>alkyl  
optionally substituted by tri halogen,

20 pyrazinyl optionally substituted by C<sub>1-6</sub>alkyl, 1,3-thiazolyl optionally  
substituted by 1 or 2 substituents selected from the group consisting of  
C<sub>1-6</sub>alkyl, pyridyl and N-(C<sub>1-6</sub>alkoxycrbonyl)amino,  
indolyl optionally substituted by C<sub>1-6</sub>alkyl,

25 benzimidazolyl optionally substituted by C<sub>1-6</sub>alkyl or tri-halo  
C<sub>1-6</sub>alkyl,

1,2,3-benzotriazolyl optionally substituted by C<sub>1-6</sub>alkyl,

30 1,8-naphthyridinyl optionally substituted by C<sub>1-6</sub>alkyl optionally  
substituted by tri halogen,

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C<sub>1-6</sub> alkyl optionally substituted by tri- halogen, phenyl, phenoxy, or thienyl,

5 or

C<sub>1-6</sub>alkoxy optionally substituted by phenyl, phenoxy, or thienyl;

10 R<sup>2</sup> represents fluoro, chloro, bromo, hydroxy, nitro, vinyl, cyano, amino, aminoacetoxy, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkyl)amino, 2-furyl, piperidino, morpholino, phenyl, pyrrolidinyl optionally substituted by acetamido, piperidino optionally substituted by hydroxy, piperazinyl optionally substituted by methyl, benzyl, C<sub>1-6</sub>alkoxycarbonyl, or aminocarbonyl,

15 C<sub>1-6</sub> alkyl optionally substituted by cyano, tri-fluoro, carboxy, methoxycarbonyl, aminocarbonyl, tert-butoxycarbonyl, tetrahydropyranyl, or morpholino,

20 C<sub>1-6</sub> alkoxy optionally substituted by hydroxy, cyano, methoxy, methoxycarbonyl, tert-butoxycarbonyl, carboxy, aminoacetyl, dimethylamino, aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, isopropylaminocarbonyl, fluorobenzylaminocarbonyl, cyclopropyl, pyrrolidinyl, piperidino, tetrahydropyranyl, morpholino, 25 morpholinocarbonyl, 2-oxo-1,3-oxazolidin-4-yl, phthalimid-N-yl, or hydroxy C<sub>1-6</sub> alkyleneoxy,

R<sup>3</sup> represents hydrogen;

30 R<sup>4</sup> represents hydrogen;

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$R^5$  represents hydrogen; and

$R^6$  represents hydrogen.

- 5 (5) The fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

10 X represents  $CR^5R^6$  or NH;

$Y^1$  represents N;

$Y^2$  and  $Y^3$  represent  $CR^3R^4$ ;

15

Chemical bond between  $Y^2=Y^3$  represents a single bond

$Z^3$  and  $Z^4$  represent CH;

20  $Z^1$  and  $Z^2$  independently represent CH or  $CR^2$ ;

$R^1$  represents cyclopropyl, cyclopentyl, cyclohexyl, 2-furyl, 3-furyl, imidazolyl, 1H-pyrrol-2-yl, 1H-pyrrol-3-yl, pyrimidinyl, pyridazinyl, piperazinyl, 1,2,3-thiadiazolyl, 1,3-benzothiazolyl, quinolyl, 3H-imidazo[4,5-b]pyridinyl,

25

pyrrolyl optionally substituted by  $C_{1-6}$ alkyl, pyrazolyl optionally substituted by 1 or 2  $C_{1-6}$ alkyl, isoxazolyl optionally substituted by 1 or 2  $C_{1-6}$ alkyl,

30

2-thienyl optionally substituted by chloro, nitro, cyano, or  $C_{1-6}$  alkyl, 3-thienyl optionally substituted by chloro, nitro, cyano, or  $C_{1-6}$  alkyl,

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piperidinyll optionally substituted by C<sub>1-6</sub>alkoxycarbonyl, or benzyl-oxycarbonyl,

5 phenyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluoro, chloro, hydroxy, nitro, cyano, carboxy, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkoxycarbonyl, amino, N-(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, N-(C<sub>1-6</sub>alkoxycabonyl)amino, N,N-di(C<sub>1-6</sub>alkyl)-amino, N-(formyl)-N-C<sub>1-6</sub>alkyl amino, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub>alkane-sulfonyl, sulfamoyl, pyrrolyl, imidazolyl, pyrazolyl, and piperazinyl  
10 optionally substituted by C<sub>1-6</sub>alkyl,

pyridyl optionally substituted by 1 or 2 substituents selected from the group consisting of chloro, hydroxy, carboxy, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkyl-thio, amino, N-(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, N-(C<sub>1-6</sub>alkane)sulfonyl amino, N[N,N-di(C<sub>1-6</sub>alkyl)amino methylene]amino, and C<sub>1-6</sub>alkyl optionally  
15 substituted by tri halogen,

20 pyrazinyl optionally substituted by C<sub>1-6</sub>alkyl, 1,3-thiazolyl optionally substituted by

1 or 2 substituents selected from the group consisting of C<sub>1-6</sub>alkyl, pyridyl and N-(C<sub>1-6</sub>alkoxycrbonyl)amino, indolyl optionally sub-  
25 stituted by C<sub>1-6</sub>alkyl, benzimidazolyl optionally substituted by C<sub>1-6</sub>alkyl or tri-halo C<sub>1-6</sub>alkyl,

1,2,3-benzotriazolyl optionally substituted by C<sub>1-6</sub>alkyl, 1,8-naph-thyridinyl optionally substituted by C<sub>1-6</sub>alkyl optionally substituted by  
30 tri halogen,



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C<sub>1-6</sub> alkyl optionally substituted by tri- halogen, phenyl, phenoxy, or thienyl,

or

5 C<sub>1-6</sub>alkoxy substituted by phenyl, phenoxy, or thienyl;

R<sup>2</sup> represents fluoro, chloro, bromo, hydroxy, nitro, vinyl, cyano, amino, aminoacetoxy, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkyl)amino, 2-furyl, piperidino, mor-  
10 pholino, phenyl,

pyrrolidinyI optionally substituted by acetamido,

piperidino optionally substituted by hydroxy,  
15 piperazinyl optionally substituted by methyl, benzyl, C<sub>1-6</sub>alkoxycarbonyl, or aminocarbonyl,

C<sub>1-6</sub> alkyl optionally substituted by cyano tri-fluoro, carboxy, methoxycarbonyl, aminocarbonyl, tert-butoxycarbonyl, tetrahydro-  
20 pyranyl, or morpholino,

or

C<sub>1-6</sub> alkoxy optionally substituted by hydroxy, cyano, methoxy, methoxycarbonyl, tert-butoxycarbonyl, carboxy, aminoacetyl, dimethylamino, aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, isopropylaminocarbonyl, fluorobenzylaminocarbonyl, cyclopropyl, pyrrolidinyI, piperidino, tetrahydropyranyl, morpholino, morpholinocarbonyl, 2-oxo-1,3-oxazolidin-4-yl, phthalimid-N-yl, or  
30 hydroxy C<sub>1-6</sub> alkyleneoxy;

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$R^3$  represents hydrogen;

$R^4$  represents hydrogen;

5  $R^5$  represents hydrogen; and

$R^6$  represents hydrogen.

10 (6) The fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

X represents  $CR^5R^6$  or NH;

15  $Y^1$  represents N;

$Y^2$  and  $Y^3$  represent  $CR^3R^4$ ;

Chemical bond between  $Y^2=Y^3$  represents a single bond

20  $Z^1$  and  $Z^4$  represent CH;

$Z^2$  and  $Z^3$  independently represent CH or  $CR^2$ ;

25  $R^1$  represents cyclopropyl, cyclopentyl, cyclohexyl, 2-furyl, 3-furyl, imidazolyl, 1H-pyrrol-2-yl, 1H-pyrrol-3-yl, pyrimidinyl, piperazinyl, pyridazinyl, 1,2,3-thiadiazolyl, 1,3-benzothiazolyl, quinolyl, 3H-imidazo[4,5-b]pyridinyl,

30 pyrrolyl optionally substituted by  $C_{1-6}$ alkyl,

pyrazolyl optionally substituted by 1 or 2  $C_{1-6}$ alkyl,

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isoxazolyl optionally substituted by 1 or 2 C<sub>1-6</sub>alkyl,

2-thienyl optionally substituted by chloro, nitro, cyano, or C<sub>1-6</sub> alkyl,

3-thienyl optionally substituted by chloro, nitro, cyano, or C<sub>1-6</sub> alkyl,

piperidinyl optionally substituted by C<sub>1-6</sub>alkoxycarbonyl, or benzyl-oxycarbonyl,

phenyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluoro, chloro, hydroxy, nitro, cyano, carboxy, C<sub>1-6</sub> alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkoxycarbonyl, amino, N-(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, N-(C<sub>1-6</sub>alkoxycabonyl)amino, N,N-di(C<sub>1-6</sub>alkyl)-amino, N-(formyl)-N-C<sub>1-6</sub>alkyl amino, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub>alkane-sulfonyl, sulfamoyl, pyrrolyl, imidazolyl, pyrazolyl, and piperazinyl optionally substituted by C<sub>1-6</sub>alkyl,

pyridyl optionally substituted by 1 or 2 substituents selected from the group consisting of chloro, hydroxy, carboxy, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkylthio, amino, N-(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(C<sub>1-6</sub>acyl)amino, N-(C<sub>1-6</sub>alkane)sulfonyl amino, N[N,N-di(C<sub>1-6</sub>alkyl)amino methylene]amino, C<sub>1-6</sub>-alkoxyphenylC<sub>1-6</sub>alkoxy, and C<sub>1-6</sub>alkyl optionally substituted by tri halogen,

pyrazinyl optionally substituted by C<sub>1-6</sub>alkyl,

1,3-thiazolyl optionally substituted by 1 or 2 substituents selected from the group consisting of C<sub>1-6</sub>alkyl, pyridyl and N-(C<sub>1-6</sub>-alkoxycrbonyl)amino, indolyl optionally substituted by C<sub>1-6</sub>alkyl,

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benzimidazolyl optionally substituted by C<sub>1-6</sub>alkyl or tri-halo C<sub>1-6</sub>alkyl,

5 1,2,3-benzotriazolyl optionally substituted by C<sub>1-6</sub>alkyl, 1,8-naphthyridinyl optionally substituted by C<sub>1-6</sub>alkyl optionally substituted by tri halogen,

10 C<sub>1-6</sub> alkyl optionally substituted by tri- halogen, phenyl, phenoxy, or thienyl,

or

15 C<sub>1-6</sub>alkoxy substituted by phenyl, phenoxy, or thienyl;

R<sup>2</sup> represents fluoro, chloro, bromo, hydroxy, nitro, vinyl, cyano, amino, aminoacetoxyl, N-(C<sub>1-6</sub>alkyl)amino, N,N-di(C<sub>1-6</sub>alkyl)amino, N-(hydroxyC<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkyl)amino, 2-furyl, piperidino, morpholino, phenyl,

20 pyrrolidinyl optionally substituted by acetamido, piperidino optionally substituted by hydroxy, piperazinyl optionally substituted by methyl, benzyl, C<sub>1-6</sub>alkoxycarbonyl, or aminocarbonyl,

25 C<sub>1-6</sub> alkyl optionally substituted by cyano, tri-fluoro, carboxy, methoxycarbonyl, aminocarbonyl, tert-butoxycarbonyl, tetrahydropyranyl, or morpholino,

or

30 C<sub>1-6</sub> alkoxy optionally substituted by hydroxy, cyano, methoxy, methoxycarbonyl, tert-butoxycarbonyl, carboxy, aminoacetyl, dimethylamino, aminocarbonyl, methylaminocarbonyl, di-

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5 methylaminocarbonyl, isopropylaminocarbonyl, fluorobenzyl-aminocarbonyl, cyclopropyl, pyrrolidinyl, piperidino, tetrahydropyranyl, morpholino, morpholinocarbonyl, tetrazolyl, 2-oxo-1,3-oxazolidin-4-yl, phthalimid-N-yl, or hydroxy C<sub>1-6</sub> alkyleneoxy;

R<sup>3</sup> represents hydrogen;

10 R<sup>4</sup> represents hydrogen;

R<sup>5</sup> represents hydrogen; and

R<sup>6</sup> represents hydrogen.

15 (7) The fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

X represents CR<sup>5</sup>R<sup>6</sup> or NH;

20 Y<sup>1</sup> represents N;

Y<sup>2</sup> and Y<sup>3</sup> represent CR<sup>3</sup>R<sup>4</sup>;

25 Chemical bond between Y<sup>2</sup>=Y<sup>3</sup> represents a single bond

Z<sup>3</sup> and Z<sup>4</sup> represent CH;

Z<sup>1</sup> and Z<sup>2</sup> independently represent CH or CR<sup>2</sup>;

30 R<sup>1</sup> represents 3H-imidazo[4,5-b]pyridinyl, benzimidazolyl

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pyridyl optionally substituted by hydroxy, amino, acetamido, methoxybenzyloxy or methylsulfonylamino,

or

5 1,3-thiazolyl optionally substituted by 1 or 2 methyl;

10  $R^2$  represents fluoro, chloro, bromo, morpholino, piperazinyl, methylpiperazinyl, methyl, tri-fluoro methyl, or  $C_{1-6}$  alkoxy optionally substituted by hydroxy, cyano, carboxy, dimethylaminocarbonyl, tetrahydropyranyl, morpholino, morpholinocarbonyl, tetrazolyl, or phthalimid-N-yl;

$R^3$  represents hydrogen;

15  $R^4$  represents hydrogen;

$R^5$  represents hydrogen; and

20  $R^6$  represents hydrogen.

(8) The fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

25 X represents  $CR^5R^6$  or NH;

$Y^1$  represents N;

$Y^2$  and  $Y^3$  represent  $CR^3R^4$ ;

30 Chemical bond between  $Y^2=Y^3$  represents a single bond;

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$Z^1$ ,  $Z^3$  and  $Z^4$  represent CH;

$Z^2$  represents  $CR^2$ ;

5         $R^1$         represents 3H-imidazo[4,5-b]pyridinyl, benzimidazolyl  
                 pyridyl optionally substituted by hydroxy, amino, acetamido,  
                 methoxybenzyloxy or methylsulfonylamino,

                 or

10

                 1,3-thiazolyl optionally substituted by 1 or 2 methyl,

15

$R^2$         represents fluoro, chloro, bromo, morpholino, piperazinyl, methyl-  
                 piperazinyl, methyl, tri-fluoro methyl,  $C_{1-6}$  alkoxy optionally sub-  
                 stituted by hydroxy, cyano, carboxy, dimethylaminocarbonyl, tetra-  
                 hydropyranyl, morpholino, morpholinocarbonyl, tetrazolyl, or  
                 phthalimid-N-yl;

20

$R^3$         represents hydrogen;

$R^4$         represents hydrogen;

$R^5$         represents hydrogen; and

25

$R^6$         represents hydrogen.

30

- (9) The fused azolepyrimidine derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1, wherein said derivative is selected from the group consisting of the following compounds:

N-(7,8-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

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2-(7,8-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1-pyridin-3-ylethylenol;

5 N-(7,8-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1H-benzimidazole-5-carboxamide;

6-(acetamido)-N-(7,8-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

10

N-{5-[2-(7,8-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1-hydroxyvinyl]pyridin-2-yl}acetamide;

15

2-({5-[2-hydroxy-2-pyridin-3-ylvinyl]-7-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-8-yl}oxy)-N,N-dimethylacetamide;

2-[7-methoxy-8-(tetrahydro-2H-pyran-2-ylmethoxy)-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]-1-pyridin-3-ylethylenol;

20

2-[8-(2-hydroxyethoxy)-7-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]-1-pyridin-3-ylethylenol;

(({5-[2-hydroxy-2-pyridin-3-ylvinyl]-7-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-8-yl}oxy)acetic acid;

25

4-({5-[2-hydroxy-2-pyridin-3-ylvinyl]-7-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-8-yl}oxy)butanoic acid;

30

(({5-[2-hydroxy-2-pyridin-3-ylvinyl]-7-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-8-yl}oxy)acetonitrile;



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2-[7-methoxy-8-(2H-tetrazol-5-ylmethoxy)-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]-1-pyridin-3-ylethylenol;

5 2-[7-methoxy-8-(4-morpholin-4-yl-4-oxobutoxy)-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]-1-pyridin-3-ylethylenol;

5-[1-hydroxy-2-(8-morpholin-4-yl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)vinyl]pyridin-3-ol ;

10 N-(2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-5-hydroxynicotinamide;

6-(acetamido)-N-(7,9-dimethoxy-8-methyl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

15 N-(8,9-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-5-hydroxynicotinamide;

5-hydroxy-N-(7-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

20 N-(7,8-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-5-[(4-methoxybenzyl)oxy]nicotinamide;

25 N-(7,8-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-5-hydroxynicotinamide;

5-hydroxy-N-[8-(trifluoromethyl)-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]nicotinamide;

30 N-{8-[3-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)propoxy]-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl}nicotinamide;

N-(7-bromo-8-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

5 6-amino-N-(8-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

10 1-(1H-benzimidazol-5-yl)-2-(8,9-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)ethylenol;

2-(8,9-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1-(2,4-dimethyl-1,3-thiazol-5-yl)ethylenol;

15 N-(9-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1H-benzimidazole-5-carboxamide;

N-(8-bromo-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

20 N-(8-bromo-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1H-benzimidazole-5-carboxamide;

N-(8-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1H-benzimidazole-5-carboxamide;

25 N-(8-methyl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1H-benzimidazole-5-carboxamide;

30 N-[8-(trifluoromethyl)-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]-1H-benzimidazole-5-carboxamide;

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N-(7-fluoro-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1H-benzimidazole-5-carboxamide;

N-(7-methoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

5

N-(8-chloro-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1H-benzimidazole-5-carboxamide;

6-(acetamido)-N-(8-morpholin-4-yl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

10

1-(1H-benzimidazol-5-yl)-2-(8-morpholin-4-yl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)ethylenol;

15

N-{5-[1-hydroxy-2-(8-morpholin-4-yl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)vinyl]pyridin-2-yl}acetamide;

6-methyl-N-(8-morpholin-4-yl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)nicotinamide;

20

1-(1H-benzimidazol-5-yl)-2-[8-(4-methylpiperazin-1-yl)-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]ethylenol;

N-(2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-3H-imidazo[4,5-b]pyridine-6-carboxamide;

25

N-(7,8-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-3H-imidazo[4,5-b]pyridine-6-carboxamide;

30

N-[7-(trifluoromethyl)-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl]-1H-benzimidazole-5-carboxamide;

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N-(7,9-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1H-benzimidazole-5-carboxamide;

5 N-{5-[2-(7,9-dimethoxy-8-methyl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1-hydroxyvinyl]pyridin-2-yl}acetamide;

N-{5-[2-(7-bromo-9-methyl-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1-hydroxyvinyl]pyridin-2-yl}acetamide; and

10

2-(8,9-dimethoxy-2,3-dihydroimidazo[1,2-c]quinazolin-5-yl)-1-pyridin-3-ylethylenol;

15 (10) A medicament comprising the fused azolepyrimidine derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 as an active ingredient.

(11) The medicament as claimed in claim 10, further comprising one or more pharmaceutically acceptable excipients.

20

(12) The medicament as claimed in claim 10, wherein the fused azolepyrimidine derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof is a PI3K inhibitor.

25 (13) The medicament as claimed in claim 10, wherein the fused azolepyrimidine derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof is a PI3K- $\gamma$  inhibitor.

30 (14) The medicament as claimed in claim 10 for prophylaxis and/or treatment of inflammatory or immunoregulatory disorder.

- (15) The medicament as claimed in claim 14 for prophylaxis and/or treatment of asthma, rhinitis, allergic diseases, autoimmune pathologies, rheumatoid arthritis, Grave's disease, and atherosclerosis.
- 5 (16) The medicament as claimed in claim 10 for prophylaxis and/or treatment of neurodegenerative disorders, Alzheimer's disease, or focal ischemia.
- (17) The medicament as claimed in claim 10 for prophylaxis and/or treatment of diabetes, cancer, myocardial contractility disorders, heart failure, ischemia,  
10 pulmonary hypertension, renal failure, or cardiac hypertrophy.
- (18) Use of the fused azolepyrimidine derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 for manufacturing a medicament for the treatment and/or prevention of an  
15 inflammatory disorder or disease.
- (19) Use of the fused azolepyrimidine derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 for manufacturing a medicament for the treatment and/or prevention of asthma,  
20 rhinitis, allergic diseases, or autoimmune pathologies.
- (20) Use of the fused azolepyrimidine derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 for manufacturing a medicament for the treatment and/or prevention of diabetes,  
25 cancer, myocardial contractility disorders, heart failure, ischemia, pulmonary hypertension, renal failure, and cardiac hypertrophy.
- (21) Use of the fused azolepyrimidine derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 for manufacturing a medicament for the treatment and/or prevention of disorder  
30 or disease associated with PI3K activity.

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- 5 (22) Use of the fused azolepyrimidine derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 for manufacturing a medicament for the treatment and/or prevention of disorder or disease associated with PI3K- $\gamma$  activity.
- 10 (23) Process for controlling an inflammatory disorder or disease in humans and animals by administration of a PI3K inhibitory effective amount of a compound according to claim1.
- (24) Process for controlling an inflammatory disorder or disease in humans and animals by administration of a PI3K- $\gamma$  inhibitory effective amount of a compound according to claim1.
- 15 (25) Process for controlling an asthma, rhinitis, allergic diseases, or autoimmune pathologies, in humans and animals by administration of a PI3K- $\gamma$  inhibitory effective amount of a compound according to claim1.
- 20 (26) Process for controlling a diabetes, cancer, myocardial contractility disorders, heart failure, ischemia, pulmonary hypertension, renal failure, and cardiac hypertrophy, in humans and animals by administration of a PI3K- $\gamma$  inhibitory effective amount of a compound according to claim1.